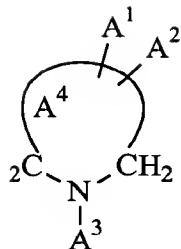


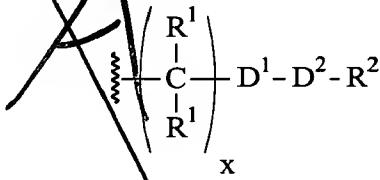
WHAT IS CLAIMED IS:

1. A compound having the structure:



or an optical isomer, diastereomer, enantiomer, or pharmaceutically-acceptable salt, or amide, ester, or imide susceptible to being cleaved *in vivo* by a mammalian subject to yield the compound, wherein:

(a) A^1 and A^2 are each, independently, selected from the group consisting of a hydrogen atom and a group having the structure:



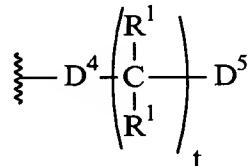
with the proviso that at A^1 and A^2 are not both hydrogen atoms, and wherein:

- (i) each R^1 is independently selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;
- (ii) x is from 0 to about 10;
- (iii) R^2 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

(iv) D^1 and D^2 are each independently selected from the group consisting of -C(O)- and -NR³-; with the proviso that wherein when D^1 is -NR³- then D^2 is -C(O)-, and wherein when D^2 is -NR³- then D^1 is -C(O)-; and

(v) R³ is selected from the group consisting of a hydrogen atom and R²; and

(b) A³ has the structure:



wherein:

- (i) each R¹ is independently selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;
- (ii) t is from 0 to about 6;
- (iii) D⁴ is selected from the group consisting of -C(O)- and -CH(R¹)-,
- (iv) D⁵ is selected from the group consisting of -NHR⁶ and -OR⁶, and
- (v) R⁶ is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group, with the proviso that wherein when:
 - (a) A⁴ is a heterocyclic group having 6 member atoms; and
 - (b) A¹ or A² is hydrogen; and
 - (c) each R¹ is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a carbocyclic group, a substituted carbocyclic group, an aromatic group, and a substituted aromatic group; and
 - (d) each R² is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a carbocyclic group, a substituted carbocyclic group, an aromatic group, and a substituted aromatic group;

then R⁶ is not a quinolyl group; and

(c) A⁴ is a heterocyclic group having from 4 to 9 member atoms.

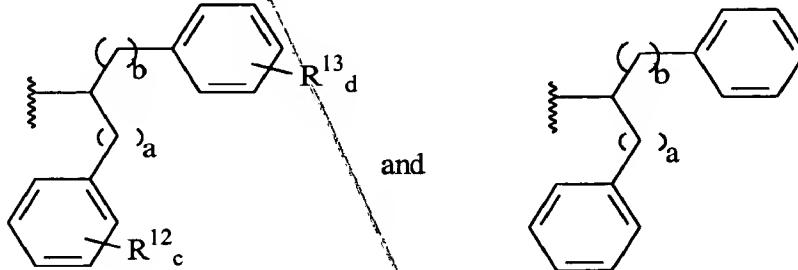
2. The compound according to Claim 1 wherein A⁴ is a heterocyclic group having 5 or 6 member atoms.

3. The compound according to Claim 2 wherein x is 0 to about 1.

4. The compound according to Claim 3 wherein at least one R¹ is selected from the group consisting of a hydrogen atom and a hydroxyl group.

5. The compound according to Claim 4 wherein at least one R² is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group.

6. The compound according to Claim 5 wherein each R² is selected from the group consisting of:



wherein:

- (a) a is at least about 2;
- (b) b is at least about 2;
- (c) c is about 1 to about 3;
- (d) d is about 1 to about 3; and

each R¹² and R¹³ are each independently selected from the group consisting of hydrocarbon groups and substituted hydrocarbon groups.

7. The compound according to Claim 5 wherein D⁴ is -C(O)- and t is 0.

8. The compound according to Claim 5 wherein D⁴ is -C(O)- and D⁵ is -O_tR⁶.

9. The compound according to Claim 5 wherein D⁴ is -CH(R¹)- and D⁵ is -O_rR⁶.

10. The compound according to Claim 5 wherein D⁴ is -CH(R¹)- and D⁵ is -NHR⁶.

11. A composition comprising:
(a) the compound according to Claim 1; and
(b) a pharmaceutically acceptable carrier.

12. The composition according to Claim 11 wherein the compound inhibits transport protein activity.

13. A composition comprising:
(a) the compound according to Claim 5; and
(b) a pharmaceutically acceptable carrier.

14. The composition according to Claim 13 wherein the compound inhibits transport protein activity.

15. A method selected from the group consisting of treating multidrug resistance, inhibiting transport protein activity; and combinations thereof, comprising administering to a mammal in need of such treatment or inhibition the composition according to Claim 11.

16. A method selected from the group consisting of treating multidrug resistance, inhibiting transport protein activity; and combinations thereof, comprising administering to a mammal in need of such treatment or inhibition the composition according to Claim 13.

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